

radical; or U represents a hydroxyalkyl-G- radical which is optionally substituted by a cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

wherein k is 0 or 1;

G represents a bond, O, S or NH;

Q represents O, S, NH, N-CN or N-alkyl;

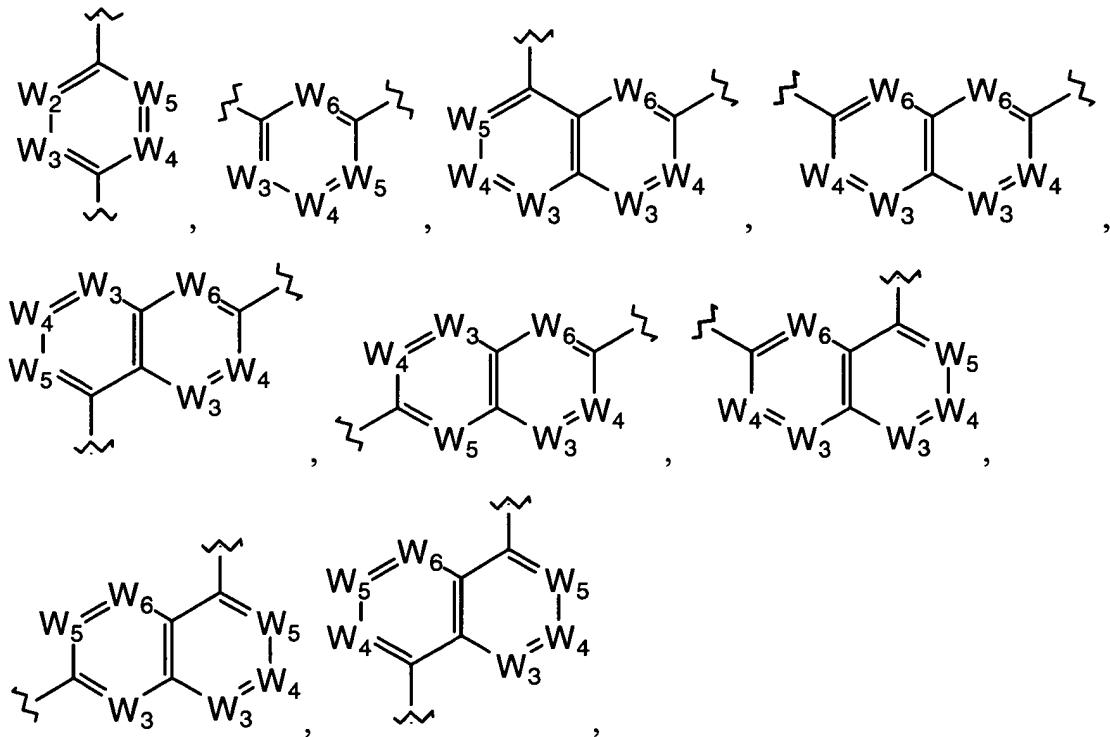
R is a radical of hydrogen or alkyl;

*B1*  
R<sub>1</sub> is a radical of alkyl, haloalkyl, R<sub>21</sub>R<sub>22</sub>N-alkyl, R<sub>21</sub>O-alkyl, R<sub>21</sub>S-alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

wherein R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, alkyl, haloalkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

each R<sub>2</sub> is independently a halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, alkylamino or dialkylamino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

V represents a radical of formula



wherein each  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  is C-R<sub>4</sub>; provided the total number of cycloalkyl, aryl, heteroaryl, heterocyclyl, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub> and -R<sub>19</sub> radicals in  $W_2$ ,  $W_3$ ,  $W_4$  and  $W_5$  is 0-2;

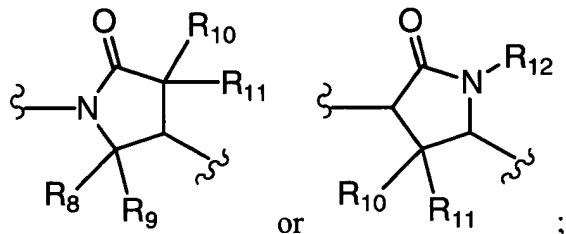
each  $W_6$  is C-H; and

each R<sub>4</sub> is independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or two adjacent R<sub>4</sub> radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are each independently a hydrogen, halo, alkyl, alkoxy, alkylthio, haloalkyl, haloalkoxy, hydroxy or cyano radical; or R<sub>5</sub> and R<sub>6</sub> or R<sub>6</sub> and R<sub>7</sub> taken together with the carbon

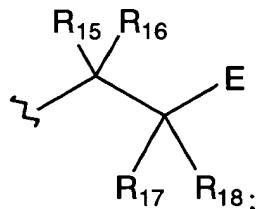
atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or R<sub>3</sub> and R<sub>6</sub> taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R<sub>2</sub>;

A represents a radical of formula



*B1*  
R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



wherein (a) R<sub>15</sub> is a hydrogen or alkyl radical; and R<sub>17</sub> is (1) an aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or

(b) R<sub>17</sub> is a hydrogen or alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-

NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

provided that when a nitrogen atom is attached to the carbon atom to which R<sub>15</sub> is attached, then R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>;

*B1*  
wherein R<sub>19</sub> is a alkyl, cycloalkyl, cycloalkyl-alkyl, aryl, aryl-alkyl, heteroaryl, heteroaryl-alkyl, heterocyclyl or heterocyclyl-alkyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or alkyl radical; and

E is a radical of carboxy, amido, tetrazolyl, -C(O)-O-R<sub>20</sub>, -C(O)-NH-R<sub>20</sub>, -C(O)-NH-S(O)-R<sub>20</sub>, -C(O)-NH-S(O)<sub>2</sub>-R<sub>20</sub> or -C(O)-NH-C(O)-R<sub>20</sub>;

wherein R<sub>20</sub> is an alkyl, cycloalkyl, aryl, heteroaryl or heterocyclyl radical or an alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, cycloalkyl, aryl, heteroaryl or heterocyclyl, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; and

provided that when U represents amidino, guanidino, -C(Q)-NH-R<sub>1</sub> or -NH-C(Q)-NH-R<sub>1</sub> radical, wherein Q represents NH, N-CN or N-alkyl, then at least one of g, h or j is 1.

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2. (Amended) The compound of Claim 1 or a pharmaceutically acceptable salt thereof, wherein

*B2*  
each Alk is independently a C<sub>1</sub>-C<sub>12</sub> alkyl radical;

U represents guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-NH-R}_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-NH-C(Q)-R}_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-C(Q)-N(R)-R}_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-NH-C(Q)-N(R)-R}_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-NH-C(Q)-O-R}_1$  or  $-(G-(C_1-C_8 \text{ alkyl}))_k\text{-O-C(Q)-N(R)-R}_1$  radical; or U represents a hydroxy( $C_1-C_{12}$  alkyl)-G-radical which is optionally substituted by a  $C_3-C_8$  cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

Q represents O, S, NH, N-CN or N-( $C_1-C_8$  alkyl);

R is a radical of hydrogen or  $C_1-C_8$  alkyl;

*B<sup>2</sup>*  
 $R_1$  is a radical of  $C_1-C_8$  alkyl, halo( $C_1-C_8$  alkyl) of 1-7 halo radicals,  $R_{21}R_{22}\text{N-}(C_1-C_8 \text{ alkyl})$ ,  $R_{21}\text{O-}(C_1-C_8 \text{ alkyl})$ ,  $R_{21}\text{S-}(C_1-C_8 \text{ alkyl})$ ,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_8$  alkyl), aryl, aryl( $C_1-C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

wherein  $R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1-C_8$  alkyl, halo( $C_1-C_8$  alkyl) of 1-7 halo radicals,  $C_3-C_8$  cycloalkyl,  $C_3-C_8$  cycloalkyl( $C_1-C_8$  alkyl), aryl, aryl( $C_1-C_8$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1-C_8$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1-C_8$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each  $R_2$  is independently a halo,  $C_1-C_6$  alkyl,  $C_1-C_6$  alkoxy,  $C_1-C_6$  alkylthio, halo( $C_1-C_4$  alkyl) of 1-5 halo radicals, halo( $C_1-C_4$  alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino,  $C_1-C_8$  alkylamino or di( $C_1-C_8$  alkyl)amino radical or two adjacent  $R_2$  radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

each  $R_3$  is independently a hydrogen or  $C_1-C_6$  alkyl radical;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or two adjacent R<sub>4</sub> radicals taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 5-6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

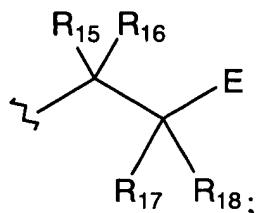
*B*<sup>2</sup>

R<sub>5</sub>, R<sub>6</sub> and R<sub>7</sub> are each independently a hydrogen, halo, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkoxy, C<sub>1</sub>-C<sub>6</sub> alkylthio, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals, hydroxy or cyano radical; or R<sub>5</sub> and R<sub>6</sub> or R<sub>6</sub> and R<sub>7</sub> taken together with the carbon atoms to which they are attached represent a fused-phenyl or fused-heteroaryl of 6 ring members, wherein the phenyl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or R<sub>3</sub> and R<sub>6</sub> taken together with the carbon atoms to which they are attached represent a fused-heteroaryl of 6 ring members optionally substituted by 1-3 radicals of R<sub>2</sub>;

X<sub>2</sub> is C-H, C-(C<sub>1</sub>-C<sub>4</sub> alkyl), a C<sub>3</sub>-C<sub>8</sub> spirocycloalkyl or spiroheterocyclyl of 5-8 ring members radical; wherein the spirocycloalkyl and spiroheterocyclyl radicals are optionally substituted by an oxo or thioxo radical and 1-2 radicals of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>4</sub> alkyl) of 1-5 halo radicals, hydroxy, C<sub>1</sub>-C<sub>6</sub> alkoxy or halo(C<sub>1</sub>-C<sub>4</sub> alkoxy) of 1-5 halo radicals;

R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub> and R<sub>12</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; or -CR<sub>8</sub>R<sub>9</sub>- represents a -C(O)-;

B represents a radical of formula



wherein (a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and R<sub>17</sub> is (1) an aryl, heteroaryl of 5-10 ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an C<sub>1</sub>-C<sub>6</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>; wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; or

(b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical, or (2) an C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, heterocyclyl of 5-8 ring members, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

*B<sup>2</sup>*  
provided that when a nitrogen atom is attached to the carbon atom to which R<sub>15</sub> is attached, then R<sub>15</sub> is (1) an aryl, heteroaryl, cycloalkyl, heterocyclyl or -C(O)-NH-R<sub>19</sub> radical, or (2) an alkyl radical substituted by a radical of aryl, heteroaryl, cycloalkyl, heterocyclyl, -NH-C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -O-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -S(O)<sub>2</sub>-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub>, -S(O)<sub>2</sub>-NH-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub>;

wherein R<sub>19</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>6</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>6</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>6</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>6</sub> alkyl radical; and

*B2*  
 $R_{20}$  is a  $C_1$ - $C_6$  alkyl,  $C_3$ - $C_8$  cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members radical or a  $C_1$ - $C_6$  alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino,  $C_3$ - $C_8$  cycloalkyl, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ .

5. (Twice Amended) The compound of Claim 4 or a pharmaceutically acceptable salt thereof, wherein

each Alk is independently a  $C_1$ - $C_4$  alkyl radical;

*B3*  
U represents guanidino,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-C(Q)-N(R)-R_1$ ,  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-N(R)-R_1$  or  $-(G-(C_1-C_8 \text{ alkyl}))_k-NH-C(Q)-O-R_1$  radical;

G represents a bond, O or NH;

Q represents O, S, NH, N-CN or N-( $C_1$ - $C_4$  alkyl);

R is a radical of hydrogen or  $C_1$ - $C_4$  alkyl;

$R_1$  is a radical of  $C_1$ - $C_6$  alkyl, halo( $C_1$ - $C_6$  alkyl) of 1-5 halo radicals,  $R_{21}R_{22}N-(C_1-C_6 \text{ alkyl})$ ,  $R_{21}O-(C_1-C_6 \text{ alkyl})$ ,  $C_3$ - $C_8$  cycloalkyl,  $C_3$ - $C_8$  cycloalkyl( $C_1$ - $C_6$  alkyl), aryl, aryl( $C_1$ - $C_6$  alkyl), heteroaryl of 5-10 ring members, heteroaryl( $C_1$ - $C_6$  alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl( $C_1$ - $C_6$  alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

$R_{21}$  and  $R_{22}$  are each independently a radical of hydrogen,  $C_1$ - $C_8$  alkyl, aryl, aryl( $C_1$ - $C_4$  alkyl), heteroaryl of 5-10 ring members or heteroaryl( $C_1$ - $C_4$  alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of  $R_2$ ;

each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>4</sub> alkylamino or di(C<sub>1</sub>-C<sub>4</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

*B3*  
each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy, cyano, carboxy, -C(O)-O-R<sub>19</sub>, -C(O)-R<sub>19</sub>, -C(O)-NH-R<sub>19</sub>, -C(O)-N(R<sub>19</sub>)<sub>2</sub>, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of 5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members radical, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>; and

R<sub>20</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>4</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, amino, aryl, heteroaryl of 5-10 ring members or heterocyclyl of 5-8 ring members, wherein the aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

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6. (Amended) The compound of Claim 5 or a pharmaceutically acceptable salt thereof, wherein

*B4*  
U represents guanidino, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-NH-R<sub>1</sub>, -NH-C(Q)-R<sub>1</sub>, -(G-(C<sub>1</sub>-C<sub>8</sub> alkyl))<sub>k</sub>-C(Q)-N(R)-R<sub>1</sub>, -NH-C(Q)-N(R)-R<sub>1</sub> or -NH-C(Q)-O-R<sub>1</sub> radical;

Q represents O or NH;

R is a radical of hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl;

R<sub>1</sub> is a radical of C<sub>1</sub>-C<sub>6</sub> alkyl, halo(C<sub>1</sub>-C<sub>6</sub> alkyl) of 1-5 halo radicals, R<sub>21</sub>R<sub>22</sub>N-(C<sub>1</sub>-C<sub>4</sub> alkyl), R<sub>21</sub>O-(C<sub>1</sub>-C<sub>4</sub> alkyl), C<sub>3</sub>-C<sub>8</sub> cycloalkyl, C<sub>3</sub>-C<sub>8</sub> cycloalkyl(C<sub>1</sub>-C<sub>4</sub> alkyl), aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members, heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, heterocyclyl of

5-8 ring members or heterocyclyl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-8 ring members, wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

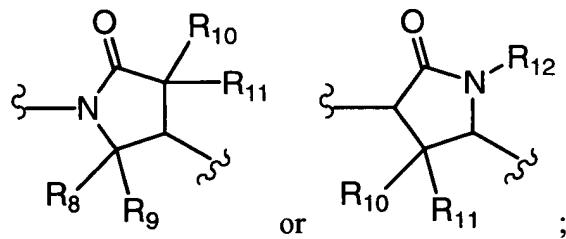
R<sub>21</sub> and R<sub>22</sub> are each independently a radical of hydrogen, C<sub>1</sub>-C<sub>6</sub> alkyl, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

each R<sub>2</sub> is independently a halo, C<sub>1</sub>-C<sub>2</sub> alkyl, C<sub>1</sub>-C<sub>2</sub> alkoxy, C<sub>1</sub>-C<sub>2</sub> alkylthio, CF<sub>3</sub>-, CF<sub>3</sub>O-, hydroxy, carboxy, cyano, azido, amidino, guanidino, nitro, amino, C<sub>1</sub>-C<sub>2</sub> alkylamino or di(C<sub>1</sub>-C<sub>2</sub> alkyl)amino radical or two adjacent R<sub>2</sub> radicals on an aryl or heteroaryl radical represent a methylenedioxy, ethylenedioxy or propylenedioxy radical;

*B4*  
each W<sub>2</sub>, W<sub>3</sub>, W<sub>4</sub> and W<sub>5</sub> are independently C-R<sub>4</sub>;

each R<sub>4</sub> is independently a hydrogen, halo, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, C<sub>1</sub>-C<sub>4</sub> alkylthio, halo(C<sub>1</sub>-C<sub>2</sub> alkyl) of 1-5 halo radicals, halo(C<sub>1</sub>-C<sub>2</sub> alkoxy) of 1-5 halo radicals, hydroxy or cyano radical;

A represents a radical of formula



(a) R<sub>15</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>17</sub> is -NH-C(O)-R<sub>19</sub>, -NH-C(O)-NH-R<sub>19</sub>, -NH-C(O)-O-R<sub>19</sub>, -NH-S(O)<sub>2</sub>-R<sub>19</sub> or -NH-S(O)<sub>2</sub>-NH-R<sub>19</sub> radical; or (b) R<sub>17</sub> is a hydrogen or C<sub>1</sub>-C<sub>2</sub> alkyl radical; and R<sub>15</sub> is (1) an aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical, or (2) an C<sub>1</sub>-C<sub>2</sub> alkyl radical substituted by a radical of aryl, heteroaryl of 5-10 ring members, C<sub>3</sub>-C<sub>8</sub> cycloalkyl or heterocyclyl of 5-8 ring members radical; wherein the cycloalkyl, aryl, heteroaryl and heterocyclyl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

R<sub>19</sub> is a C<sub>1</sub>-C<sub>4</sub> alkyl, aryl, aryl(C<sub>1</sub>-C<sub>4</sub> alkyl), heteroaryl of 5-10 ring members or heteroaryl(C<sub>1</sub>-C<sub>4</sub> alkyl) of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>;

*B4* R<sub>16</sub> and R<sub>18</sub> are each independently a hydrogen or C<sub>1</sub>-C<sub>4</sub> alkyl radical;

E is a radical of carboxy, amido, tetrazolyl or -C(O)-O-R<sub>20</sub>; and

R<sub>20</sub> is a C<sub>1</sub>-C<sub>2</sub> alkyl, aryl or heteroaryl of 5-10 ring members or a C<sub>1</sub>-C<sub>2</sub> alkyl radical substituted by 1-3 radicals of halo, hydroxy, carboxy, aryl or heteroaryl of 5-10 ring members, wherein the aryl and heteroaryl radicals are optionally substituted by 1-3 radicals of R<sub>2</sub>.

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Please ADD new Claim 19.

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19. (New) A method for the treatment of rheumatoid arthritis comprising administering an effective amount of a compound according to Claim 1.

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*B5*